Supporting information

Melting points were determined in open capillaries and are uncorrected. ^{1}H NMR spectra were determined on a Varian Mercury-400 spectrometer in DMSO-d_6 or $CDCl_3$ using tetramethylsilane as an internal standard. Electrospray ionization (ESI) mass spectra and high resolution mass spectra (HRMS) were obtained on an MDSSCIEX Q-Tap mass spectrometer. Fast Atom Bombardment (FAB) mass spectra and high resolution mass spectra (HRMS) were obtained on a MICROMASS AutoSpec Ultima-TOF mass spectrometer. The reagents were all of analytical grade or chemically pure. TLC was performed on silica gel plates (Merck, ART5554 60F254).

1. General procedure for the preparation of 3a-i

A mixture of piperidones **1a-i** (5 mmol), K_2CO_3 (829 mg, 6 mmol) and alkoxylaminehydrochloride/hydroxylamine hydrochloride (6 mmol) in EtOH (20 mL) was stirred for 0.5-3h at room temperature, filtered, and concentrated under reduced pressure to give crude products **2a-i** as off-white or yellow oils.

To a solution of above **2a-i** in dichloromethane (5 mL) was added dropwise a solution of trifluoroacetic acid (10 mL) in dichloromethane (5 mL), stirred for 0.5 h, and concentrated under reduced pressure. The residue was purified by silica gel column chromatography to get **3a-i** as yellow oils (40-65%).

2. General procedure for the preparation of 6a, b

A mixture of **4a, b** (3 mmol), (bromomethyl)cyclohexane (1.28 g, 7.2 mmol) and potassium carbonate (498 mg, 3.6 mmol) in DMF (8 mL) was stirred at 80 °C for 5h, poured into water (30 ml), and filtered. The filtrate was concentrated under reduced pressure to give crude products **5a, b** as yellow oils.

To a stirred solution of above **5a, b** in DCM (10 mL) was added dropwise a solution of trifluoroacetic acid (10 mL) in dichloromethane (5 mL) at room temperatrue. The mixture was stirred for 0.5 h at the same temperature, and concentrated under reduced pressure. The residue was purified by chromatography on silica gel column to get **6a, b** as yellow oils (50-52%).

3. General procedure for the preparation of 10a-i and 11a, b

A mixture of **9** (322 mg, 1 mol) and triethylamine (0.17 mL, 1.2 mol) in EtOH (10 mL) was added dropwise a solution of **3a-i** or **6a, b** in EtOH (5 mL), stirred at 60 °C for 1 h, concentrated under reduced pressure. The residue was purified by chromatography on silica gel column to get the targeted compounds **10a-i** and **11a, b** as yellow solids (45 – 59%).

3.1 2-(3-(methoxyimino)azetidin-1-yl)-8-nitro-6-(trifluoromethyl)-4H-benzo [e][1,3]thiazin-4-one 10a

The title compound **10a** was obtained from **3a** and **9** (59%), mp: 146-147 °C, ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.18-9.16 (m, 1H), 8.83-8.81 (m, 1H), 5.15-5.01 (m, 4H), 3.95 (s, 3H); ^{13}C NMR (150 MHz, DMSO-d_6) δ 167.65, 165.29, 154.16, 145.38, 144.42, 134.69, 132.58, 132.29, 129.49, 129.26, 127.57, 126.70, 126.28, 121.49, 62.48; MS-ESI (m/z): 375.6 $(M+H)^+$.

3.2 2-(3-(ethoxyimino)azetidin-1-yl)-8-nitro-6-(trifluoromethyl)-4H-benzo[e] [1,3]thiazin-4-one 10b

The title compound **10b** was obtained from **3b** and **9** (55%), mp : 151-152 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.18-9.16 (m, 1H), 8.82-8.80 (m, 1H), 5.13-5.01 (m, 4H)， 4.20-4.15 (q, *J* = 7.0 Hz, 4H), 1.32-1.27 (t, *J* = 7.0 Hz, 3H); MS-ESI (m/z): 390.9 $(M+H)^+$.

3.3 2-(3-((benzyloxy)imino)azetidin-1-yl)-8-nitro-6-(trifluoromethyl)-4H-benzo [e][1,3]thiazin-4-one10c

The title compound **10c** was obtained from **3c** and **9** (53%), mp: 182-184 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.17-9.15 (m, 1H), 8.81-8.79 (m, 1H), 7.38-7.31 (m, 5H), 5.20 (s, 2H), 5.15-5.03 (m, 4H); MS-ESI (m/z): 451.4 $(M+H)^+$.

3.4 2-(3-(methoxyimino)pyrrolidin-1-yl)-8-nitro-6-(trifluoromethyl)-4H- benzo[e][1,3]thiazin-4-one 10d

The title compound **10d** was obtained from **3d** and **9** (55%), mp: 171-168 °C, ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.20-9.16 (m, 1H), 8.83-8.80 (m, 1H), 4.66-4.59 (m, 1H), 4.46-4.43 (m, 1H), 4.24-4.20 (m, 1H), 4.02-3.98 (m, 1H), 3.97-3.90 (m, 3H), 3.08-3.02 (m, 1H), 2.94-2.88 (m, 1H); MS-ESI (m/z): 389.5 $(M+H)^+$.

3.5 2-(4-(methoxyimino)piperidin-1-yl)-8-nitro-6-(trifluoromethyl)-4H- benzo[e][1,3]thiazin-4-one 10e

The title compound **10e** was obtained from **3e** and **9** (55%), mp: 127-129 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.13-9.11 (m, 1H), 8.79-8.77 (m, 1H), 4.35-4.10 (m, 2H), 4.09-3.92 (m, 2H), 3.90-3.86 (m, 3H), 2.82-2.72 (m, 2H), 2.70-2.58 (m, 2H); MS-ESI (m/z): 403.5 $(M+H)^+$.

3.6 2-(4-(ethoxyimino)piperidin-1-yl)-8-nitro-6-(trifluoromethyl)-4H-benzo[e] [1,3]thiazin-4-one 10f

The title compound **10f** was obtained from **3f** and **9** (54%), mp: 130-131 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.13-9.11 (m, 1H), 8.79-8.77 (m, 1H), 4.30-4.15 (m, 2H), 4.14-4.08 (q, *J* = 7.5 Hz, 4H), 4.05-3.91 (m, 2H), 2.85-2.73 (m, 2H), 2.70-2.55 (m, 2H), 1.29-1.25 (t, *J* = 7.5 Hz, 3H); MS-ESI (m/z): 417.5 $(M+H)^+$.

3.7 2-(4-((benzyloxy)imino)piperidin-1-yl)-8-nitro-6-(trifluoromethyl)-4H-benzo [e][1,3]thiazin-4-one 10g

The title compound **10g** was obtained from **3g** and **9** (59%), mp: 160-162 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.13-9.10 (m, 1H), 8.80-8.76 (m, 1H), 7.38-7.31 (m, 5H), 5.10 (s, 2H), 4.30-4.15 (m, 2H), 4.12-3.90 (m, 2H), 2.88-2.78 (m, 2H), 2.70-2.58 (m, 2H); MS-ESI (m/z): 479.2 $(M+H)^+$.

3.8 2-(4-((benzyloxy)imino)azepan-1-yl)-8-nitro-6-(trifluoromethyl)-4H- benzo[e][1,3]thiazin-4-one 10h

The title compound **10h** was obtained from **3h** and **9** (56%), mp: 126-129 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.18-9.16 (m, 1H), 8.82-8.80 (m, 1H), 4.20-4.11 (m, 2H), 4.05-4.01 (m, 1H), 3.96-3.92 (m, 1H), 3.82-3.77 (m, 3H), 3.00-

2.89 (m, 1H), 2.70-2.58 (m, 2H), 2.04-1.91 (m, 2H), 1.65-1.54 (m, 1H); MS-ESI (m/z): 417.7 $(M+H)^+$.

3.9 2-(3-amino-4-(methoxyimino) piperidin-1-yl)-8-nitro-6-(trifluoromethyl)- 4H-benzo[e][1,3]thiazin-4-one 10i

The title compound **11i** was obtained from **3i** and **9** (55%), mp: 180-181 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.09-9.07 (m, 1H), 8.80-8.78 (m, 1H), 4.88-4.82 (m, 1H), 3.97-3.94 (m, 1H), 3.93-3.91 (m, 3H), 3.90-3.88 (m, 1H),3.29-3.21 (m, 2H), 2.79-2.71 (m, 1H), 2.62-2.55 (m, 1H), 2.01-1.95 (m, 1H); MS-ESI (m/z): 418.9 $(M+H)^+$.

3.10 2-(3-((cyclohexylmethyl)(methyl)amino)pyrrolidin-1-yl)-8-nitro-6-(trifluoro methyl)-4H-benzo[e][1,3]thiazin-4-one 11a

The title compound **11a** was obtained from **6a** and **9** (45%), mp: 126-129 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.18-9.16 (m, 1H), 8.79-8.77 (m, 1H), 4.32-4.21 (m, 3H), 4.15-4.02 (m, 2H), 3.93-3.85 (m, 3H), 3.75-3.65 (m, 2H), 1.82-1.70 (m, 5H), 1.64-1.55 (m, 3H), 1.25-1.16 (m, 5H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 166.03, 165.99, 160.76, 160.65, 143.59 (s, 7H), 134.48, 133.88, 131.91, 131.16, 130.95, 128.90, 126.82, 126.76, 125.97, 123.49, 121.31, 65.60, 64.46, 62.90, 62.78, 52.70, 49.16, 46.30, 40.09, 31.72, 31.62, 30.57, 29.72, 26.04; MS-ESI (m/z): 471.5 $(M+H)^+$.

3.11 2-(4-((cyclohexylmethyl)(methyl)amino)piperidin-1-yl)-8-nitro-6-(trifluoromethyl)-4H-benzo[e][1,3]thiazin-4-one 11b

The title compound **11b** was obtained from **6b** and **9** (52%), mp: 146-149 °C. ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.99-8.97 (m, 1H), 8.72-8.69 (m, 1H), 4.12-4.02 (m, 1H), 3.16-3.14 (m, 1H), 2.94-2.85 (m, 3H), 2.82-2.70 (m, 2H), 2.65-2.39 (m, 5H), 1.90-1.70 (m, 7H), 1.68-1.62 (m, 1H), 1.32-1.23 (m, 2H), 1.17-1.10 (m, 1H), 1.03-0.96 (m, 2H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 166.50, 161.51, 143.87, 134.24, 133.28, 133.26, 129.63, 129.40, 126.62, 129.54, 129.51, 123.25, 121.44, 60.78, 60.54, 46.29, 38.65, 36.17, 31.76, 26.76, 26.08; MS-ESI (m/z): 485.5 $(M+H)^+$.